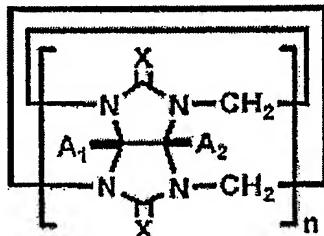


## CLAIMS

1. Nanoparticles prepared by the aggregation of cucurbituril derivatives of Formula 1 below and having a particle size of 1 to 1,000 nm:

5



(1)

wherein X is O, S, or NH;

A<sub>1</sub> and A<sub>2</sub> are respectively OR<sup>1</sup> and OR<sup>2</sup>, SR<sup>1</sup> and SR<sup>2</sup>, or NHR<sup>1</sup> and NHR<sup>2</sup>;

R<sup>1</sup> and R<sup>2</sup> are each independently selected from the group consisting of  
 10 hydrogen, a substituted or unsubstituted alkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkenyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted alkynyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted carbonylalkyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted thioalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkylthiol of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkoxy of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted hydroxyalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkylsilyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted aminoalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted aminoalkylthioalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted cycloalkyl of C<sub>5</sub>-C<sub>30</sub>, a substituted or unsubstituted heterocycloalkyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted aryl of C<sub>6</sub>-C<sub>30</sub>, a substituted or unsubstituted arylalkyl of C<sub>6</sub>-C<sub>20</sub>, a substituted or unsubstituted heteroaryl of C<sub>4</sub>-C<sub>30</sub>, and a substituted or unsubstituted heteroarylalkyl of C<sub>4</sub>-C<sub>20</sub>; and  
 15  
 20 n is an integer of 4 to 20.

2. The nanoparticles of claim 1 prepared by the aggregation of a biodegradable polymer in addition to the cucurbituril derivatives.

25

3. The nanoparticles of claim 2, wherein the biodegradable polymer is poly(lactide-co-glycolide) (PLGA), polyethyleneglycol (PEG), poly(alkylcyanoacrylate), poly-ε-caprolactone, cellulose derivative, albumin, gelatin, alginate, or a mixture

thereof.

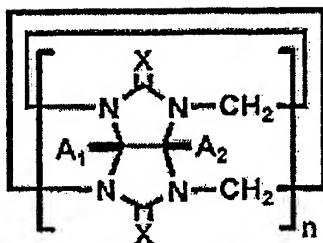
4. A pharmaceutical composition in which a pharmaceutically active substance as a guest molecule is loaded into the nanoparticles of any one of claims 1  
5 through 3.

5. The pharmaceutical composition of claim 4, wherein the pharmaceutically active substance is an organic compound, a protein, or a gene.

10 6. The pharmaceutical composition of claim 5, wherein the organic compound is hydrocortisone, prednisolone, spironolactone, testosterone, megestrol acetate, danasole, progesterone, indomethacin, amphotericin B, or a mixture thereof.

15 7. The pharmaceutical composition of claim 5, wherein the protein is human growth hormone, G-CSF (granulocyte colony-stimulating factor), GM-CSF (granulocyte-macrophage colony-stimulating factor), erythropoietin, vaccine, antibody, insulin, glucagon, calcitonin, ACTH (adrenocorticotropic hormone), somatostatin, somatotropin, somatomedin, parathyroid hormone, thyroid hormone, hypothalamus secretion, prolactin, endorphin, VEGF (vascular endothelial growth factor), enkephalin, 20 vasopressin, nerve growth factor, non-naturally occurring opioid, interferon, asparaginase, alginase, superoxide dismutase, trypsin, chymotrypsin, pepsin, or a mixture thereof.

25 8. A method of preparing the nanoparticles of claim 1, which comprises:  
dissolving a cucurbituril derivative of Formula 1 below in an organic solvent to obtain a reaction solution;  
adding water to the reaction solution followed by dispersing;  
distilling the dispersed solution in a temperature range from a boiling point of the organic solvent to 100°C to remove the organic solvent; and  
30 cooling the resultant solution to room temperature:



(1)

wherein X is O, S, or NH;

A<sub>1</sub> and A<sub>2</sub> are respectively OR<sup>1</sup> and OR<sup>2</sup>, SR<sup>1</sup> and SR<sup>2</sup>, or NHR<sup>1</sup> and NHR<sup>2</sup>;

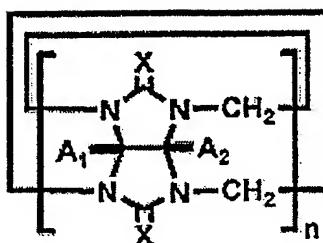
R<sup>1</sup> and R<sup>2</sup> are each independently selected from the group consisting of

- 5 hydrogen, a substituted or unsubstituted alkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkenyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted alkynyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted carbonylalkyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted thioalkyl of C<sub>1</sub>-C<sub>30</sub>,
- 10 a substituted or unsubstituted alkylthiol of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkoxy of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted hydroxyalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkylsilyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted aminoalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted aminoalkylthioalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted cycloalkyl of C<sub>5</sub>-C<sub>30</sub>, a substituted or unsubstituted heterocycloalkyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted aryl of C<sub>6</sub>-C<sub>30</sub>, a substituted or unsubstituted arylalkyl of C<sub>6</sub>-C<sub>20</sub>, a substituted or unsubstituted heteroaryl of C<sub>4</sub>-C<sub>30</sub>, and a substituted or 15 unsubstituted heteroarylkyl of C<sub>4</sub>-C<sub>20</sub>; and

n is an integer of 4 to 20.

9. A method of preparing the pharmaceutical composition of claim 4, which comprises:

- 20 dissolving a cucurbituril derivative of Formula 1 below and the pharmaceutically active substance in an organic solvent to obtain a reaction solution;
- adding water to the reaction solution followed by dispersing;
- distilling the dispersed solution in a temperature range from a boiling point of the organic solvent to 100 °C to remove the organic solvent; and
- 25 cooling the resultant solution to room temperature;



(1)

wherein X is O, S, or NH;

A<sub>1</sub> and A<sub>2</sub> are respectively OR<sup>1</sup> and OR<sup>2</sup>, SR<sup>1</sup> and SR<sup>2</sup>, or NHR<sup>1</sup> and NHR<sup>2</sup>;

R<sup>1</sup> and R<sup>2</sup> are each independently selected from the group consisting of

- 5 hydrogen, a substituted or unsubstituted alkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkenyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted alkynyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted carbonylalkyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted thioalkyl of C<sub>1</sub>-C<sub>30</sub>,
- 10 a substituted or unsubstituted alkylthiol of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkoxy of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted hydroxyalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted alkylsilyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted aminoalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted aminoalkylthioalkyl of C<sub>1</sub>-C<sub>30</sub>, a substituted or unsubstituted cycloalkyl of C<sub>5</sub>-C<sub>30</sub>, a substituted or unsubstituted heterocycloalkyl of C<sub>2</sub>-C<sub>30</sub>, a substituted or unsubstituted aryl of C<sub>6</sub>-C<sub>30</sub>, a substituted or unsubstituted arylalkyl of C<sub>6</sub>-C<sub>20</sub>, a substituted or unsubstituted heteroaryl of C<sub>4</sub>-C<sub>30</sub>, and a substituted or 15 unsubstituted heteroarylalkyl of C<sub>4</sub>-C<sub>20</sub>; and

n is an integer of 4 to 20.

10. The method of claim 8 or 9, wherein in dissolving the cucurbituril derivative in the organic solvent to obtain the reaction solution, a biodegradable polymer is further dissolved in the organic solvent.

11. The method of claim 8 or 9, wherein the organic solvent is chloroform, dimethylsulfoxide, dichloromethane, dimethylformamide, tetrahydrofuran, or a mixture thereof.

25

12. The method of claim 8 or 9, wherein the dispersing is carried out by sonication with a sonicator.